P11149

Cat. No.: HY-105327

CAS No.: 164724-79-2

Molecular Formula: $C_{27}H_{34}ClNO_4$

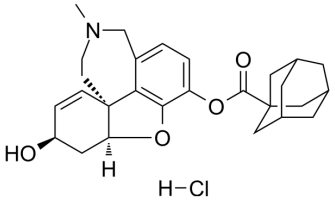
Molecular Weight: 472.02

Target: Cholinesterase (ChE)

Pathway: Neuronal Signaling

Storage: 4°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (211.86 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1186 mL	10.5928 mL	21.1855 mL
	5 mM	0.4237 mL	2.1186 mL	4.2371 mL
	10 mM	0.2119 mL	1.0593 mL	2.1186 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 5 mg/mL (10.59 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 5 mg/mL (10.59 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 5 mg/mL (10.59 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

P11149 is a competitive, BBB-penetarated weakly, orally active and selective inhibitor of AChE. P11149 exhibits an IC_{50} of 1.3 μM for rat BChE/AChE. P11149, a Galanthamine derivative, demonstrates central cholinergic activity, behavioral efficacy and safety. P11149 is used in the study for Alzheimer's disease[1].

IC_{50} & Target

AChE

In Vivo

P11149 is a GAL analog that is rapidly hydrolyzed in vivo to yield the potent AChE inhibitor, 6-DMG[1].
P11149 exhibits greater s.c. bioavailability than p.o. [1].
Oral P11149 in mice produces Sal, Lac and tremors at doses similar to those in rats, whereas 6-DMG, P1 1012 and GAL

produces Sal and Lac at doses lower than those in rats[1].

P11149 exhibits $T_{1/2}$(el) of 2.4 h and C_{max} of 585 ng/mL in rat plasma[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. G M Bores, et al. Pharmacological evaluation of novel Alzheimer's disease therapeutics: acetylcholinesterase inhibitors related to galanthamine. J Pharmacol Exp Ther. 1996 May;277(2):728-38.

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